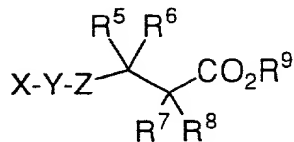
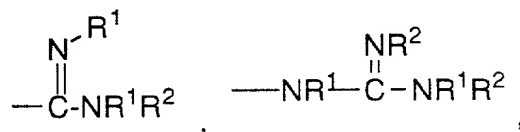


WHAT IS CLAIMED IS:

1. A compound of the formula



- 5 wherein X is selected from the group consisting of



- 10 a 5- or 6-membered monocyclic aromatic or nonaromatic ring system having 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of N, O, and S wherein the ring nitrogen atoms are unsubstituted or substituted with one R¹ substituent and the ring carbon atoms are unsubstituted or substituted with one or two R¹ substituents, and

- 15 a 9- to 14-membered polycyclic ring system, wherein one or more of the rings is aromatic, and wherein the polycyclic ring system has 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of N, O, and S wherein the ring nitrogen atoms are unsubstituted or substituted with one R¹ substituent and the ring carbon atoms are unsubstituted or substituted with one or two R¹ substituents;

- 20 Y is selected from the group consisting of

- 25 $-(\text{CH}_2)_m-$,
 $-(\text{CH}_2)_m-\text{O}-(\text{CH}_2)_n-$,
 $-(\text{CH}_2)_m-\text{NR}^4-(\text{CH}_2)_n-$,
 $-(\text{CH}_2)_m-\text{S}-(\text{CH}_2)_n-$,
 $-(\text{CH}_2)_m-\text{SO}-(\text{CH}_2)_n-$,
 $-(\text{CH}_2)_m-\text{SO}_2-(\text{CH}_2)_n-$,

$-(CH_2)_m-O-(CH_2)_n-O-(CH_2)_p-$,
 $-(CH_2)_m-O-(CH_2)_n-NR^4-(CH_2)_p-$,
 $-(CH_2)_m-NR^4-(CH_2)_n-NR^4-(CH_2)_p-$,
 $-(CH_2)_m-O-(CH_2)_n-S-(CH_2)_p-$,
 $-(CH_2)_m-S-(CH_2)_n-S-(CH_2)_p-$,
 $-(CH_2)_m-NR^4-(CH_2)_n-S-(CH_2)_p-$,
 $-(CH_2)_m-NR^4-(CH_2)_n-O-(CH_2)_p-$,
 $-(CH_2)_m-S-(CH_2)_n-O-(CH_2)_p-$, and
 $-(CH_2)_m-S-(CH_2)_n-NR^4-(CH_2)_p-$,

wherein any methylene (CH_2) carbon atom in Y, other than in R^4 , can be substituted by one or two R^3 substituents;

Z is selected from the group consisting of

$\begin{array}{c} O \\ || \\ -CNR^4- \end{array}$; $\begin{array}{c} O \\ || \\ -NR^4C- \end{array}$; $\begin{array}{c} O \\ || \\ -NR^4CNR^4- \end{array}$;
 $-CH_2CH_2-$, and $-CH=CH-$, wherein either carbon atom can be substituted by one or two R^3 substituents;

R^1 and R^2 are each independently selected from the group consisting of

hydrogen, halogen, C_{1-10} alkyl, C_{3-8} cycloalkyl,
 C_{3-8} cycloheteroalkyl, C_{3-8} cycloalkyl C_{1-6} alkyl,
 C_{3-8} cycloheteroalkyl C_{1-6} alkyl, aryl, aryl C_{1-8} alkyl, amino,
 amino C_{1-8} alkyl, C_{1-3} acylamino, C_{1-3} acylamino C_{1-8} alkyl,
 $(C_{1-6}$ alkyl) $_p$ amino, $(C_{1-6}$ alkyl) $_p$ amino C_{1-8} alkyl,
 C_{1-4} alkoxy, C_{1-4} alkoxy C_{1-6} alkyl, hydroxycarbonyl,
 hydroxycarbonyl C_{1-6} alkyl, C_{1-3} alkoxycarbonyl,
 C_{1-3} alkoxycarbonyl C_{1-6} alkyl, hydroxycarbonyl-
 C_{1-6} alkyloxy, hydroxy, hydroxy C_{1-6} alkyl, C_{1-6} alkyloxy-
 C_{1-6} alkyl, nitro, cyano, trifluoromethyl, trifluoromethoxy,
 trifluoroethoxy, C_{1-8} alkyl-S(O) $_p$, $(C_{1-8}$ alkyl) $_p$ aminocarbonyl,
 C_{1-8} alkyloxycarbonylamino, $(C_{1-8}$ alkyl) $_p$ aminocarbonyloxy,

(aryl C₁₋₈ alkyl)_pamino, (aryl)_pamino, aryl C₁₋₈
alkylsulfonylamino, and C₁₋₈ alkylsulfonylamino;

or two R¹ substituents, when on the same carbon atom, are taken
together with the carbon atom to which they are attached to
form a carbonyl group;

each R³ is independently selected from the group consisting of
hydrogen,

aryl,

C₁₋₁₀ alkyl,

aryl-(CH₂)_r-O-(CH₂)_s-,

aryl-(CH₂)_rS(O)_p-(CH₂)_s-,

aryl-(CH₂)_r-C(O)-(CH₂)_s-,

aryl-(CH₂)_r-C(O)-N(R⁴)-(CH₂)_s-,

aryl-(CH₂)_r-N(R⁴)-C(O)-(CH₂)_s-,

aryl-(CH₂)_r-N(R⁴)-(CH₂)_s-,

halogen,

hydroxyl,

oxo,

trifluoromethyl,

C₁₋₈ alkylcarbonylamino,

aryl C₁₋₅ alkoxy,

C₁₋₅ alkoxycarbonyl,

(C₁₋₈ alkyl)_paminocarbonyl,

C₁₋₆ alkylcarbonyloxy,

C₃₋₈ cycloalkyl,

(C₁₋₆ alkyl)_pamino,

amino C₁₋₆ alkyl,

arylaminocarbonyl,

aryl C₁₋₅ alkylaminocarbonyl,

aminocarbonyl,

aminocarbonyl C₁₋₆ alkyl,

hydroxycarbonyl,

hydroxycarbonyl C₁₋₆ alkyl,

- $\text{HC}\equiv\text{C}-(\text{CH}_2)_t-$,
 $\text{C}_{1-6} \text{ alkyl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$,
 $\text{C}_{3-7} \text{ cycloalkyl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$,
 $\text{aryl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$,
5 $\text{C}_{1-6} \text{ alkylaryl}-\text{C}\equiv\text{C}-(\text{CH}_2)_t-$,
 $\text{CH}_2=\text{CH}-(\text{CH}_2)_t-$,
 $\text{C}_{1-6} \text{ alkyl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$,
 $\text{C}_{3-7} \text{ cycloalkyl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$,
 $\text{aryl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$,
10 $\text{C}_{1-6} \text{ alkylaryl}-\text{CH}=\text{CH}-(\text{CH}_2)_t-$,
 $\text{C}_{1-6} \text{ alkyl}-\text{SO}_2-(\text{CH}_2)_t-$,
 $\text{C}_{1-6} \text{ alkylaryl}-\text{SO}_2-(\text{CH}_2)_t-$,
 $\text{C}_{1-6} \text{ alkoxy}$,
 $\text{aryl C}_{1-6} \text{ alkoxy}$,
15 $\text{aryl C}_{1-6} \text{ alkyl}$,
 $(\text{C}_{1-6} \text{ alkyl})_{\text{pamino C}_{1-6} \text{ alkyl}}$,
 $(\text{aryl})_{\text{pamino}}$,
 $(\text{aryl})_{\text{pamino C}_{1-6} \text{ alkyl}}$,
 $(\text{aryl C}_{1-6} \text{ alkyl})_{\text{pamino}}$,
20 $(\text{aryl C}_{1-6} \text{ alkyl})_{\text{pamino C}_{1-6} \text{ alkyl}}$,
 arylcarbonyloxy ,
 $\text{aryl C}_{1-6} \text{ alkylcarbonyloxy}$,
 $(\text{C}_{1-6} \text{ alkyl})_{\text{paminocarbonyloxy}}$,
 $\text{C}_{1-8} \text{ alkylsulfonylamino}$,
25 arylsulfonylamino ,
 $\text{C}_{1-8} \text{ alkylsulfonylamino C}_{1-6} \text{ alkyl}$,
 $\text{arylsulfonylamino C}_{1-6} \text{ alkyl}$,
 $\text{aryl C}_{1-6} \text{ alkylsulfonylamino}$,
 $\text{aryl C}_{1-6} \text{ alkylsulfonylamino C}_{1-6} \text{ alkyl}$,
30 $\text{C}_{1-8} \text{ alkoxycarbonylamino}$,
 $\text{C}_{1-8} \text{ alkoxycarbonylamino C}_{1-8} \text{ alkyl}$,
 $\text{aryloxycarbonylamino C}_{1-8} \text{ alkyl}$,
 $\text{aryl C}_{1-8} \text{ alkoxycarbonylamino}$,
 $\text{aryl C}_{1-8} \text{ alkoxycarbonylamino C}_{1-8} \text{ alkyl}$,

- C₁₋₈ alkylcarbonylamino,
 C₁₋₈ alkylcarbonylamino C₁₋₆ alkyl,
 arylcarbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylcarbonylamino,
 5 aryl C₁₋₆ alkylcarbonylamino C₁₋₆ alkyl,
 aminocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)paminocarbonylamino,
 (C₁₋₈ alkyl)paminocarbonylamino C₁₋₆ alkyl,
 (aryl)paminocarbonylamino C₁₋₆ alkyl,
 10 (aryl C₁₋₈ alkyl)paminocarbonylamino,
 (aryl C₁₋₈ alkyl)paminocarbonylamino C₁₋₆ alkyl,
 aminosulfonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)paminosulfonylamino,
 (C₁₋₈ alkyl)paminosulfonylamino C₁₋₆ alkyl,
 15 (aryl)paminosulfonylamino C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)paminosulfonylamino,
 (aryl C₁₋₈ alkyl)paminosulfonylamino C₁₋₆ alkyl,
 C₁₋₆ alkylsulfonyl,
 C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 20 arylsulfonyl C₁₋₆ alkyl,
 aryl C₁₋₆ alkylsulfonyl,
 aryl C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 C₁₋₆ alkylcarbonyl,
 C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 25 arylcarbonyl C₁₋₆ alkyl,
 aryl C₁₋₆ alkylcarbonyl,
 aryl C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 C₁₋₆ alkylthiocarbonylamino,
 C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 30 arylthiocarbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylthiocarbonylamino,
 aryl C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)paminocarbonyl C₁₋₆ alkyl,
 (aryl)paminocarbonyl C₁₋₆ alkyl,

(aryl C₁₋₈ alkyl)_paminocarbonyl, and
 (aryl C₁₋₈ alkyl)_paminocarbonyl C₁₋₆ alkyl;
 or two R³ substituents, when on the same carbon atom are taken
 together with the carbon atom to which they are attached to
 5 form a carbonyl group or a cyclopropyl group,
 wherein any of the alkyl groups of R³ are either unsubstituted or
 substituted with one to three R¹ substituents, and provided that each R³
 is selected such that in the resultant compound the carbon atom or
 atoms to which R³ is attached is itself attached to no more than one
 10 heteroatom;

each R⁴ is independently selected from the group consisting of
 hydrogen,
 aryl,
 15 aminocarbonyl,
 C₃₋₈ cycloalkyl,
 amino C₁₋₆ alkyl,
 (aryl)_paminocarbonyl,
 (aryl C₁₋₅ alkyl)_paminocarbonyl,
 20 hydroxycarbonyl C₁₋₆ alkyl,
 C₁₋₈ alkyl,
 aryl C₁₋₆ alkyl,
 (C₁₋₆ alkyl)_pamino C₂₋₆ alkyl,
 (aryl C₁₋₆ alkyl)_pamino C₂₋₆ alkyl,
 25 C₁₋₈ alkylsulfonyl,
 C₁₋₈ alkoxycarbonyl,
 aryloxycarbonyl,
 aryl C₁₋₈ alkoxycarbonyl,
 C₁₋₈ alkylcarbonyl,
 30 arylcarbonyl,
 aryl C₁₋₆ alkylcarbonyl,
 (C₁₋₈ alkyl)_paminocarbonyl,
 aminosulfonyl,
 C₁₋₈ alkylaminosulfonyl,

(aryl)_paminosulfonyl,
 (aryl C₁₋₈ alkyl)_paminosulfonyl,
 arylsulfonyl,
 arylC₁₋₆ alkylsulfonyl,
 5 C₁₋₆ alkylthiocarbonyl,
 arylthiocarbonyl, and
 aryl C₁₋₆ alkylthiocarbonyl,

wherein any of the alkyl groups of R⁴ are either unsubstituted or
 substituted with one to three R¹ substituents;

10

R⁵ and R⁶ are each independently selected from the group consisting of

hydrogen,
 C₁₋₁₀ alkyl,
 aryl,
 15 aryl-(CH₂)_r-O-(CH₂)_s-,
 aryl-(CH₂)_rS(O)_p-(CH₂)_s-,
 aryl-(CH₂)_r-C(O)-(CH₂)_s-,
 aryl-(CH₂)_r-C(O)-N(R⁴)-(CH₂)_s-,
 aryl-(CH₂)_r-N(R⁴)-C(O)-(CH₂)_s-,
 20 aryl-(CH₂)_r-N(R⁴)-(CH₂)_s-,
 halogen,
 hydroxyl,
 C₁₋₈ alkylcarbonylamino,
 aryl C₁₋₅ alkoxy,
 25 C₁₋₅ alkoxycarbonyl,
 (C₁₋₈ alkyl)_paminocarbonyl,
 C₁₋₆ alkylcarbonyloxy,
 C₃₋₈ cycloalkyl,
 (C₁₋₆ alkyl)_pamino,
 30 amino C₁₋₆ alkyl,
 arylaminocarbonyl,
 aryl C₁₋₅ alkylaminocarbonyl,
 aminocarbonyl,
 aminocarbonyl C₁₋₆ alkyl,

- hydroxycarbonyl,
hydroxycarbonyl C₁₋₆ alkyl,
HC≡C-(CH₂)_t-,
C₁₋₆ alkyl-C≡C-(CH₂)_t-,
5 C₃₋₇ cycloalkyl-C≡C-(CH₂)_t-,
aryl-C≡C-(CH₂)_t-,
C₁₋₆ alkylaryl-C≡C-(CH₂)_t-,
CH₂=CH-(CH₂)_t-,
C₁₋₆ alkyl-CH=CH-(CH₂)_t-,
10 C₃₋₇ cycloalkyl-CH=CH-(CH₂)_t-,
aryl-CH=CH-(CH₂)_t-,
C₁₋₆ alkylaryl-CH=CH-(CH₂)_t-,
C₁₋₆ alkyl-SO₂-(CH₂)_t-,
C₁₋₆ alkylaryl-SO₂-(CH₂)_t-,
15 C₁₋₆ alkoxy,
aryl C₁₋₆ alkoxy,
aryl C₁₋₆ alkyl,
(C₁₋₆ alkyl)_pamino C₁₋₆ alkyl,
(aryl)_pamino,
20 (aryl)_pamino C₁₋₆ alkyl,
(aryl C₁₋₆ alkyl)_pamino,
(aryl C₁₋₆ alkyl)_pamino C₁₋₆ alkyl,
arylcabonyloxy,
aryl C₁₋₆ alkylcabonyloxy,
25 (C₁₋₆ alkyl)_paminocabonyloxy,
C₁₋₈ alkylsulfonylamino,
arylsulfonylamino,
C₁₋₈ alkylsulfonylamino C₁₋₆ alkyl,
arylsulfonylamino C₁₋₆ alkyl,
30 aryl C₁₋₆ alkylsulfonylamino,
aryl C₁₋₆ alkylsulfonylamino C₁₋₆ alkyl,
C₁₋₈ alkoxycarbonylamino,
C₁₋₈ alkoxycarbonylamino C₁₋₈ alkyl,
aryloxycarbonylamino C₁₋₈ alkyl,
35 aryl C₁₋₈ alkoxycarbonylamino,

- aryl C₁₋₈ alkoxycarbonylamino C₁₋₈ alkyl,
 C₁₋₈ alkylcarbonylamino,
 C₁₋₈ alkylcarbonylamino C₁₋₆ alkyl,
 arylcarbonylamino C₁₋₆ alkyl,
 5 aryl C₁₋₆ alkylcarbonylamino,
 aryl C₁₋₆ alkylcarbonylamino C₁₋₆ alkyl,
 aminocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminocarbonylamino,
 (C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 10 (aryl)_paminocarbonylamino C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)_paminocarbonylamino,
 (aryl C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 aminosulfonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminosulfonylamino,
 15 (C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 (aryl)_paminosulfonylamino C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)_paminosulfonylamino,
 (aryl C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 C₁₋₆ alkylsulfonyl,
 20 C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 arylsulfonyl C₁₋₆ alkyl,
 aryl C₁₋₆ alkylsulfonyl,
 aryl C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 C₁₋₆ alkylcarbonyl,
 25 C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 arylcarbonyl C₁₋₆ alkyl,
 aryl C₁₋₆ alkylcarbonyl,
 aryl C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 C₁₋₆ alkylthiocarbonylamino,
 30 C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 arylthiocarbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylthiocarbonylamino,
 aryl C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminocarbonyl C₁₋₆ alkyl,

(aryl)_paminocarbonyl C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)_paminocarbonyl, and
 (aryl C₁₋₈ alkyl)_paminocarbonyl C₁₋₆ alkyl;

or R⁵ and R⁶ are taken together with the carbon atom to which
 5 they are attached to form a carbonyl group,
 wherein any of the alkyl groups of R⁵ or R⁶ are either unsubstituted or
 substituted with one to three R¹ substituents, and provided that each R⁵
 and R⁶ are selected such that in the resultant compound the carbon
 atom to which R⁵ and R⁶ are attached is itself attached to no more than
 10 one heteroatom;

R⁷ and R⁸ are each independently selected from the group consisting of
 hydrogen,
 C₁₋₁₀ alkyl,
 15 aryl,
 aryl-(CH₂)_r-O-(CH₂)_s-,
 aryl-(CH₂)_rS(O)_p-(CH₂)_s-,
 aryl-(CH₂)_r-C(O)-(CH₂)_s-,
 aryl-(CH₂)_r-C(O)-N(R⁴)-(CH₂)_s-,
 20 aryl-(CH₂)_r-N(R⁴)-C(O)-(CH₂)_s-,
 aryl-(CH₂)_r-N(R⁴)-(CH₂)_s-,
 halogen,
 hydroxyl,
 C₁₋₈ alkylcarbonylamino,
 25 aryl C₁₋₅ alkoxy,
 C₁₋₅ alkoxycarbonyl,
 (C₁₋₈ alkyl)_paminocarbonyl,
 C₁₋₆ alkylcarbonyloxy,
 C₃₋₈ cycloalkyl,
 30 (C₁₋₆ alkyl)_pamino,
 amino C₁₋₆ alkyl,
 arylaminocarbonyl,
 aryl C₁₋₅ alkylaminocarbonyl,
 aminocarbonyl,

- aminocarbonyl C₁₋₆ alkyl,
hydroxycarbonyl,
hydroxycarbonyl C₁₋₆ alkyl,
HC≡C-(CH₂)_t-,
5 C₁₋₆ alkyl-C≡C-(CH₂)_t-,
C₃₋₇ cycloalkyl-C≡C-(CH₂)_t-,
aryl-C≡C-(CH₂)_t-,
C₁₋₆ alkylaryl-C≡C-(CH₂)_t-,
CH₂=CH-(CH₂)_t-,
10 C₁₋₆ alkyl-CH=CH-(CH₂)_t-,
C₃₋₇ cycloalkyl-CH=CH-(CH₂)_t-,
aryl-CH=CH-(CH₂)_t-,
C₁₋₆ alkylaryl-CH=CH-(CH₂)_t-,
C₁₋₆ alkyl-SO₂-(CH₂)_t-,
15 C₁₋₆ alkylaryl-SO₂-(CH₂)_t-,
C₁₋₆ alkoxy,
aryl C₁₋₆ alkoxy,
aryl C₁₋₆ alkyl,
(C₁₋₆ alkyl)_pamino C₁₋₆ alkyl,
20 (aryl)_pamino,
(aryl)_pamino C₁₋₆ alkyl,
(aryl C₁₋₆ alkyl)_pamino,
(aryl C₁₋₆ alkyl)_pamino C₁₋₆ alkyl,
arylcarbonyloxy,
25 aryl C₁₋₆ alkylcarbonyloxy,
(C₁₋₆ alkyl)_paminocarbonyloxy,
C₁₋₈ alkylsulfonylamino,
arylcarbonylamino,
arylsulfonylamino,
30 C₁₋₈ alkylsulfonylamino C₁₋₆ alkyl,
arylsulfonylamino C₁₋₆ alkyl,
aryl C₁₋₆ alkylsulfonylamino,
aryl C₁₋₆ alkylsulfonylamino C₁₋₆ alkyl,
C₁₋₈ alkoxycarbonylamino,

- C₁₋₈ alkoxy carbonylamino C₁₋₈ alkyl,
 aryloxy carbonylamino C₁₋₈ alkyl,
 aryl C₁₋₈ alkoxy carbonylamino,
 aryl C₁₋₈ alkoxy carbonylamino C₁₋₈ alkyl,
 5 C₁₋₈ alkyl carbonylamino C₁₋₆ alkyl,
 aryl carbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkyl carbonylamino,
 aryl C₁₋₆ alkyl carbonylamino C₁₋₆ alkyl,
 aminocarbonylamino C₁₋₆ alkyl,
 10 aryl aminocarbonylamino,
 (C₁₋₈ alkyl)_paminocarbonylamino,
 (C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 (aryl)_paminocarbonylamino C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)_paminocarbonylamino,
 15 (aryl C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 aminosulfonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminosulfonylamino,
 (C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 (aryl)_paminosulfonylamino C₁₋₆ alkyl,
 20 (aryl C₁₋₈ alkyl)_paminosulfonylamino,
 (aryl C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 C₁₋₆ alkylsulfonyl,
 C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 arylsulfonyl C₁₋₆ alkyl,
 25 aryl C₁₋₆ alkylsulfonyl,
 aryl C₁₋₆ alkylsulfonyl C₁₋₆ alkyl,
 C₁₋₆ alkylcarbonyl,
 C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 arylcarbonyl C₁₋₆ alkyl,
 30 aryl C₁₋₆ alkylcarbonyl,
 aryl C₁₋₆ alkylcarbonyl C₁₋₆ alkyl,
 C₁₋₆ alkylthiocarbonylamino,
 C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 arylthiocarbonylamino C₁₋₆ alkyl,

aryl C₁₋₆ alkylthiocarbonylamino,
 aryl C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminocarbonyl C₁₋₆ alkyl,
 (aryl)_paminocarbonyl C₁₋₆ alkyl,
 5 (aryl C₁₋₈ alkyl)_paminocarbonyl,
 (aryl C₁₋₈ alkyl)_paminocarbonyl C₁₋₆ alkyl, and
 C₇₋₂₀ polycyclyl C₀₋₈ alkylsulfonylamino,

wherein any of the alkyl groups of R⁷ and R⁸ are either unsubstituted or
 substituted with one to three R¹ substituents, and provided that each
 10 R⁷ and R⁸ are selected such that in the resultant compound the carbon
 atom to which R⁷ and R⁸ are attached is itself attached to no more than
 one heteroatom;

R⁹ is selected from the group consisting of
 15 hydrogen,
 C₁₋₈ alkyl,
 aryl,
 aryl C₁₋₈ alkyl,
 C₁₋₈ alkylcarbonyloxy C₁₋₄ alkyl,
 20 aryl C₁₋₈ alkylcarbonyloxy C₁₋₄ alkyl,
 C₁₋₈ alkylaminocarbonylmethylene, and
 C₁₋₈ dialkylaminocarbonylmethylene;

wherein
 25 each m is independently an integer from 0 to 6;
 each n is independently an integer from 0 to 6;
 each p is independently an integer from 0 to 2;
 each r is independently an integer from 1 to 3;
 each s is independently an integer from 0 to 3; and
 30 each t is independently an integer from 0 to 3;

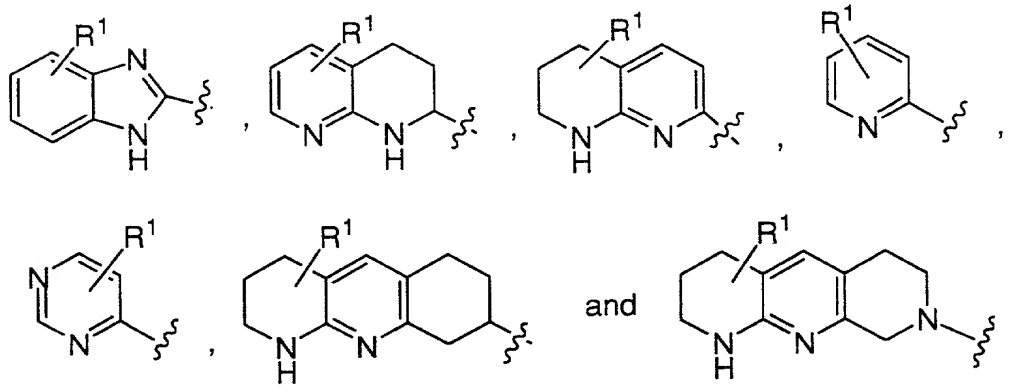
and the pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 wherein X is

a 6-membered monocyclic aromatic ring system having 1 or 2
nitrogen atoms wherein each ring carbon atom is unsubstituted
or substituted with one R¹ substituent, or

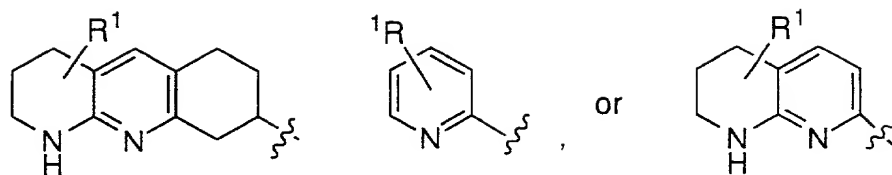
a 9- to 14-membered polycyclic ring system, wherein one or more
of the rings is aromatic, and wherein the polycyclic ring system
has 0, 1, 2, 3 or 4 heteroatoms selected from the group consisting of
N, O, and S, and wherein the ring nitrogen atoms are
unsubstituted or substituted with one R¹ substituent and the ring
carbon atoms are unsubstituted or substituted with one or two R¹
substituents.

3. The compound of Claim 2 wherein X is selected from
the group consisting of



and R¹ is as defined in Claim 1 above.

4. The compound of Claim 3 wherein X is



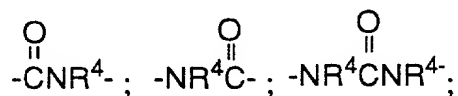
and R^1 is as defined in Claim 1 above.

5 5. The compound of Claim 3 wherein Y is selected from the group consisting of

- 10 $-(CH_2)_m-$,
 $-(CH_2)_m-O-(CH_2)_n-$,
 $-(CH_2)_m-NR^4-(CH_2)_n-$,
 $-(CH_2)_m-S-(CH_2)_n-$,
 $-(CH_2)_m-SO-(CH_2)_n-$,
 $-(CH_2)_m-SO_2-(CH_2)_n-$,
 $-(CH_2)_m-O-(CH_2)_n-O-(CH_2)_p-$,
15 $-(CH_2)_m-O-(CH_2)_n-NR^4-(CH_2)_p-$,
 $-(CH_2)_m-NR^4-(CH_2)_n-NR^4-(CH_2)_p-$, and
 $-(CH_2)_m-NR^4-(CH_2)_n-O-(CH_2)_p-$,

wherein any methylene (CH_2) carbon atom in Y, other than in R^4 , can be substituted by one or two R^3 substituents;

20 and Z is selected from the group consisting of



25 $-CH_2CH_2-$, and $-CH=CH-$, wherein either carbon atom can be substituted by one or two R^3 substituents.

 6. The compound of Claim 5 wherein Y is selected from the group consisting of

30 $(CH_2)_m$, $(CH_2)_m-S-(CH_2)_n$, and $(CH_2)_m-NR^4-(CH_2)_n$,

wherein any methylene (CH₂) carbon atom in Y, other than in R⁴, can be substituted by one or two R³ substituents; and Z is selected from the group consisting of

5

$\begin{array}{c} \text{O} \\ \parallel \\ -\text{CNR}^4- \end{array}$; $\begin{array}{c} \text{O} \\ \parallel \\ -\text{NR}^4\text{CNR}^4- \end{array}$; and
 -CH₂CH₂-, wherein either carbon atom can be substituted by one or two R³ substituents.

10

7. The compound of Claim 6 wherein each R³ is independently selected from the group consisting of

15

hydrogen,
 fluoro,
 trifluoromethyl,
 aryl,
 C₁₋₈ alkyl,
 arylC₁₋₆ alkyl
 hydroxyl,
 oxo,
 arylaminocarbonyl,
 aryl C₁₋₅ alkylaminocarbonyl,
 aminocarbonyl, and
 aminocarbonyl C₁₋₆ alkyl;

20

25 and each R⁴ is independently selected from the group consisting of

30

hydrogen,
 aryl,
 C₃₋₈ cycloalkyl,
 C₁₋₈ alkyl,
 C₁₋₈ alkylcarbonyl,
 arylcarbonyl,
 C₁₋₆ alkylsulfonyl,
 arylsulfonyl,

5 arylC₁₋₆alkylsulfonyl,
 arylC₁₋₆alkylcarbonyl,
 C₁₋₈alkylaminocarbonyl,
 arylC₁₋₅alkylaminocarbonyl,
 arylC₁₋₈alkoxycarbonyl, and
 C₁₋₈alkoxycarbonyl.

10 8. The compound of Claim 7 wherein R⁶, R⁷, and R⁸ are
 each hydrogen and R⁵ is selected from the group consisting of
 hydrogen,
 aryl,
 C₁₋₈ alkyl,
 aryl-C≡C-(CH₂)_t-,
 aryl C₁₋₆ alkyl,
 15 CH₂=CH-(CH₂)_t-, and
 HC≡C-(CH₂)_t-.

20 9. The compound of Claim 8 wherein R⁹ is selected from
 the group consisting of hydrogen, methyl, and ethyl.

10. The compound of Claim 9 wherein R⁹ is hydrogen.

25 11. The compound of Claim 7 wherein R⁵, R⁶, and R⁸ are
 each hydrogen and R⁷ is selected from the group consisting of
 hydrogen,
 aryl,
 C₁₋₈ alkylcarbonylamino,
 C₁₋₈ alkylsulfonylamino,
 arylcarbonylamino,
 30 arylsulfonylamino,
 C₁₋₈ alkylsulfonylamino C₁₋₆ alkyl,
 arylsulfonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylsulfonylamino,
 aryl C₁₋₆ alkylsulfonylamino C₁₋₆ alkyl,

C₁₋₈ alkoxycarbonylamino,
 C₁₋₈ alkoxycarbonylamino C₁₋₈ alkyl,
 aryloxycarbonylamino C₁₋₈ alkyl,
 aryl C₁₋₈ alkoxycarbonylamino,
 5 aryl C₁₋₈ alkoxycarbonylamino C₁₋₈ alkyl,
 C₁₋₈ alkylcarbonylamino C₁₋₆ alkyl,
 arylcarbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylcarbonylamino,
 aryl C₁₋₆ alkylcarbonylamino C₁₋₆ alkyl,
 10 aminocarbonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminocarbonylamino,
 (C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 (aryl)_paminocarbonylamino C₁₋₆ alkyl,
 arylaminocarbonylamino,
 15 (aryl C₁₋₈ alkyl)_paminocarbonylamino,
 (aryl C₁₋₈ alkyl)_paminocarbonylamino C₁₋₆ alkyl,
 aminosulfonylamino C₁₋₆ alkyl,
 (C₁₋₈ alkyl)_paminosulfonylamino,
 (C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 20 (aryl)_paminosulfonylamino C₁₋₆ alkyl,
 (aryl C₁₋₈ alkyl)_paminosulfonylamino,
 (aryl C₁₋₈ alkyl)_paminosulfonylamino C₁₋₆ alkyl,
 C₁₋₆ alkylthiocarbonylamino,
 C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl,
 25 arylthiocarbonylamino C₁₋₆ alkyl,
 aryl C₁₋₆ alkylthiocarbonylamino,
 aryl C₁₋₆ alkylthiocarbonylamino C₁₋₆ alkyl, and
 C₇₋₂₀ polycyclyl C₀₋₈ alkylsulfonylamino.

30 12. The compound of Claim 11 wherein R⁵, R⁶, and R⁸
 are each hydrogen and R⁷ is selected from the group consisting of
 hydrogen,
 aryl,
 C₁₋₈ alkylcarbonylamino,

aryl C₁₋₆ alkylcarbonylamino,
 arylcarbonylamino,
 C₁₋₈ alkylsulfonylamino,
 aryl C₁₋₆ alkylsulfonylamino,
 5 arylsulfonylamino,
 C₁₋₈ alkoxycarbonylamino,
 aryl C₁₋₈ alkoxycarbonylamino,
 arylaminocarbonylamino,
 (C₁₋₈ alkyl)_paminocarbonylamino,
 10 (aryl C₁₋₈ alkyl)_paminocarbonylamino,
 (C₁₋₈ alkyl)_paminosulfonylamino, and
 (aryl C₁₋₈ alkyl)_paminosulfonylamino.

13. The compound according to Claim 12 wherein R⁹ is
 15 selected from the group consisting of hydrogen, methyl, and ethyl.

14. The compound according to Claim 13 wherein R⁹ is
 hydrogen.

20 15. The compound of Claim 7 selected from the group
 consisting of:

3-(5-(5,6,7,8-Tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-
 propionic acid;

25 3(S)-(Pyridin-3-yl)-3-(5-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)-
 pentanoylamino)-propionic acid;

30 3(S)-(5,6,7,8-Tetrahydroquinolin-3-yl)-3-(5-(5,6,7,8-
 tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid
 (trifluoroacetate);

2(S)-Benzenesulfonylamino-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-
 yl)-pentanoylamino)-propionic acid trifluoroacetate;

3(S)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
pentanoylamino)-propionic acid;

- 5 3(R)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
pentanoylamino)-propionic acid;

3-(Quinolin-3-yl)-3-(7-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
heptanoylamino)-propionic acid bis(trifluoroacetate);

10

3-(Quinolin-3-yl)-3-(6-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
hexanoylamino)-propionic acid;

- 15 3(S)-(3-Fluorophenyl)-3-(4-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-
ylamino)-butyrylamino)-propionic acid bis(trifluoroacetate);

3(S)-(5-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-pent-
4-enoic acid;

- 20 3(S)-(3-Fluorophenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
pentanoylamino)-propionic acid;

2-(3-Fluorophenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
pentanoylamino)-propionic acid trifluoroacetate salt;

25

3(S)-(Benzo[1,3]dioxol-5-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-
yl)-pentanoylamino)-propionic acid;

- 30 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-(5-(5,6,7,8-tetrahydro-
[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

3(S)-(2-Oxo-2,3-dihydro-benzoxazol-6-yl)-3-(5-(5,6,7,8-tetrahydro-
[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

3(S)-(3-Fluorophenyl)-3-{3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid;

5 3(S)-(3-Fluorophenyl)-3-(2-{propyl-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethyl]-amino}-acetylamino)-propionic acid trifluoroacetate;

10 3(S)-(3-Fluorophenyl)-3-(2-{phenethyl-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethyl]-amino}-acetylamino)-propionic acid trifluoroacetate;

3(S)-(3-Fluorophenyl)-3-{3(S)-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-pent-4-ynoylamino}-propionic acid;

15 3(S)-(3-Fluorophenyl)-3-{3(S)-(3-fluorophenyl)-3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid bis(trifluoroacetate);

20 3(S)-(3-Fluoro-4-phenyl-phenyl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

2(S)-(2-Thienylsulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

25 3(S)-(3-Fluorophenyl)-3-{3-methyl-3-[(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-ylmethyl)-amino]-propionylamino}-propionic acid;

30 3(S)-(3-Fluorophenyl)-3-{2-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-ethylamino]-acetylamino}-propionic acid;

3(S)-(3-Fluorophenyl)-3{[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-propyl]-ureido}-propionic acid;

35 2(S)-(Methanesulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

- 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(R or S),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;
- 5 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(S or R),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;
- 3(S)-(6-Methoxy-pyridin-3-yl)-3-[N-methyl-3-(1,2,3,4,6,7,8,9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl-propionyl)-amino]propionic acid;
- 10 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethylsulfanyl)propionylamino]-propionic acid bis(trifluoroacetate);
- 15 3-(Quinolin-3-yl)-7-[(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;
- 3-(Quinolin-3-yl)-7-[acetyl-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;
- 20 3-(Quinolin-3-yl)-7-[methanesulfonyl-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-ylmethyl)amino]-heptanoic acid;
- 3-[5-(2-Amino-pyrimidin-4-yl)-pentanoylamino]-3(S)-(quinolin-3-yl)-propionic acid;
- 25 9-(5,6,7,8-Tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 2-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid bis(trifluoroacetate);
- 30 2(S)-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;
- 35

2(R)-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

5 2(S)-(Benzenesulfonylamino)-10-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-decanoic acid;

2(S)-(Benzenesulfonylamino)-8-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-octanoic acid;

10 2(S)-(Cyclohexylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

15 2(S)-(7,7-Dimethyl-2-oxo-bicyclo[2.2.1]hept-1(S)-ylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

2(S)-(Phenylmethanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

20 2(S)-(Cyclohexanesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

25 2(S)-(Butane-1-sulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid hydrochloride;

2(S)-(3-Benzylureido)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

30 2(S)-(Benzyloxycarbonylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

2(S)-(Phenylacetyl-amino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

35

2(S)-(Acetylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

5 2(S)-(Benzoylamino)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-nonanoic acid;

3-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

10 3(S)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

15 3(R)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Quinolin-3-yl)-7-(1,2,3,4,6,7,8,9-octahydro-benzo[b][1,8]-naphthyridin-8-yl)-heptanoic acid bis(hydrochloride);

20 6-Oxo-3-(quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(N-Oxo-quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3-(Phenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

30 3(R)-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3(S)-(Benzo[b]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(R)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

10 3-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

15 3(R)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

20 3-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3(R)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid trifluoroacetate;

30 3-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3(R)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 3(S)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]
naphthyridin-2-yl)-nonanoic acid;
- 5 3-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
nonanoic acid;
- 3(R)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
nonanoic acid;
- 10 3(S)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-
nonanoic acid;
- 3-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]
15 naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]
naphthyridin-2-yl)-nonanoic acid;
- 20 3(S)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]
naphthyridin-2-yl)-nonanoic acid;
- 3-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-
nonanoic acid;
- 25 3(S)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-
yl)-nonanoic acid;
- 3(R)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-
30 yl)-nonanoic acid;
- 3-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-
2-yl)-nonanoic acid;

3(R)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(S)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

10 3(R)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

15 3(S)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid trifluoroacetate;

3-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

20 3(R)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

25 3-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

30 3(R)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

35 3-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 5 3(S)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

10

3(R)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

- 15 3(S)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

3-(6-Oxo-1,6-dihydro-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid bis-(trifluoroacetate);

- 20 and the pharmaceutically acceptable salts thereof.

16. The compound of Claim 15 selected from the group consisting of:

- 25 3(S)-(Pyridin-3-yl)-3-(5-(5,6,7,8-tetrahydro[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

2(S)-Benzenesulfonylamino-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

30

3(S)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

- 35 3(R)-(Quinolin-3-yl)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid;

2(S)-(2-Thienylsulfonylamino)-3-(5-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-pentanoylamino)-propionic acid trifluoroacetate;

- 5 3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(R or S),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

3(S)-(2,3-Dihydro-benzofuran-6-yl)-3-[3-(1,2,3,4,6,7,8(S or R),9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl)-propionylamino]-propionic acid;

10

3(S)-(6-Methoxy-pyridin-3-yl)-3-[N-methyl-3-(1,2,3,4,6,7,8,9-octahydro-benzo[*b*][1,8]naphthyridin-8-yl-propionyl)-amino]propionic acid;

15

3-[5-(2-Amino-pyrimidin-4-yl)-pentanoylamino]-3(S)-(quinolin-3-yl)-propionic acid;

2-(Benzenesulfonylamino)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-non-4-enoic acid bis(trifluoroacetate);

20

and the pharmaceutically acceptable salts thereof.

17. The compound of Claim 15 selected from the group consisting of:

- 25 3(R)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(Quinolin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(R)-(Benzo[*b*]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(S)-(Benzo[*b*]thiophen-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 5 3(S)-(Pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(S)-(3-Fluorophenyl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(S)-(2,3-Dihydro-benzofuran-6-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(R)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(S)-(2,3-Dihydro-furo[3,2-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(R)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

3(S)-(Furo[2,3b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

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3(R)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

- 3(S)-(2,3-Dihydro-furo[2,3-b]pyridin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 5 3(R)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(S)-(6-Methoxy-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 10 3(R)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(S)-(6-Methoxy-pyridin-3-yl)-5-oxo-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 15 3(R)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(S)-(Pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 20 3(R)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 25 3(S)-(2-Methyl-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 30 3(S)-(2-Methoxy-pyrimidin-5-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 3(R)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;
- 35

3(S)-(6-Amino-pyridin-3-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid;

5 3(R)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

3(S)-(Benzo[b]thiazol-2-yl)-9-(5,6,7,8-tetrahydro-[1,8]-naphthyridin-2-yl)-nonanoic acid hydrochloride;

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and the pharmaceutically acceptable salts thereof.

18. A pharmaceutical composition comprising a compound according to Claim 1 and a pharmaceutically acceptable carrier.

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19. A pharmaceutical composition made by combining a compound according to Claim 1 and a pharmaceutically acceptable carrier.

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20. A process for making a pharmaceutical composition comprising combining a compound according to Claim 1 and a pharmaceutically acceptable carrier.

21. The composition of Claim 18 which further comprises an active ingredient selected from the group consisting of

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- a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
- b) an estrogen receptor modulator,
- 30 c) a cytotoxic/antiproliferative agent,
- d) a matrix metalloproteinase inhibitor,
- e) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
- f) an inhibitor of VEGF,
- 35 g) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1,

- h) a cathepsin K inhibitor, and
 - i) a prenylation inhibitor, such as a farnesyl transferase inhibitor or a geranylgeranyl transferase inhibitor or a dual farnesyl/geranylgeranyl transferase inhibitor;
- 5 and mixtures thereof.

22. The composition of Claim 21 wherein said active ingredient is selected from the group consisting of

- 10 a) an organic bisphosphonate or a pharmaceutically acceptable salt or ester thereof,
 - b) an estrogen receptor modulator, and
 - c) a cathepsin K inhibitor;
- and mixtures thereof.

15 23. The composition of Claim 22 wherein said organic bisphosphonate or pharmaceutically acceptable salt or ester thereof is alendronate monosodium trihydrate.

20 24. The composition of Claim 21 wherein said active ingredient is selected from the group consisting of

- a) a cytotoxic/antiproliferative agent,
 - b) a matrix metalloproteinase inhibitor,
 - c) an inhibitor of epidermal-derived, fibroblast-derived, or platelet-derived growth factors,
 - 25 d) an inhibitor of VEGF,
 - e) an inhibitor of Flk-1/KDR, Flt-1, Tck/Tie-2, or Tie-1, and
 - f) a cathepsin K inhibitor;
- and mixtures thereof.

30 25. A method of eliciting an integrin receptor antagonizing effect in a mammal in need thereof, comprising administering to the mammal a therapeutically effective amount of a compound according to Claim 1.

26. The method of Claim 25 wherein the integrin receptor antagonizing effect is an $\alpha v \beta 3$ antagonizing effect.

27. The method of Claim 26 wherein the $\alpha v \beta 3$ antagonizing effect is selected from the group consisting of inhibition of bone resorption, restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, viral disease, tumor growth, and metastasis.

28. The method of Claim 27 wherein the $\alpha v \beta 3$ antagonizing effect is the inhibition of bone resorption.

29. The method of Claim 25 wherein the integrin receptor antagonizing effect is an $\alpha v \beta 5$ antagonizing effect.

30. The method of Claim 29 wherein the $\alpha v \beta 5$ antagonizing effect is selected from the group consisting of inhibition of restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, tumor growth, and metastasis.

31. The method of Claim 25 wherein the integrin receptor antagonizing effect is a dual $\alpha v \beta 3 / \alpha v \beta 5$ antagonizing effect.

32. The method of Claim 31 wherein the dual $\alpha v \beta 3 / \alpha v \beta 5$ antagonizing effect is selected from the group consisting of inhibition of bone resorption, restenosis, angiogenesis, diabetic retinopathy, macular degeneration, inflammation, viral disease, tumor growth, and metastasis.

33. The method of Claim 25 wherein the integrin receptor antagonizing effect is an $\alpha v \beta 6$ antagonizing effect.

34. The method of Claim 33 wherein the $\alpha v \beta 6$ antagonizing effect is selected from the group consisting of angiogenesis, inflammatory response, and wound healing.

35. A method of eliciting an integrin receptor
antagonizing effect in a mammal in need thereof, comprising
administering to the mammal a therapeutically effective amount of the
5 composition of Claim 18.

36. A method of treating or preventing a condition
mediated by antagonism of an integrin receptor in a mammal in need
thereof, comprising administering to the mammal a therapeutically
10 effective amount of the composition of Claim 18.

37. A method of inhibiting bone resorption in a mammal
in need thereof, comprising administering to the mammal a
therapeutically effective amount of the composition of Claim 18.
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38. A method of inhibiting bone resorption in a mammal
in need thereof, comprising administering to the mammal a
therapeutically effective amount of the composition of Claim 22.

39. A method of treating tumor growth in a mammal in
need thereof, comprising administering to the mammal a
therapeutically effective amount of the composition of Claim 24.
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40. A method of treating tumor growth in a mammal in
need thereof, comprising administering to the mammal a
therapeutically effective amount of a compound according to Claim 1 in
combination with radiation therapy.
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